



Docket No.: 5000-0194PUS1
(PATENT)

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

In re Patent Application of:
Jordi TORMO I BLASCO et al.

Application No.: 10/590,363

Confirmation No.: Not Yet Assigned

Filed: August 23, 2006

Art Unit: N/A

For: FUNGICIDAL MIXTURES FOR
CONTROLLING RICE PATHOGENS

Examiner: Not Yet Assigned

LETTER

Commissioner for Patents
P.O. Box 1450
Alexandria, VA 22313-1450

Sir:

Subsequent to the filing of the above-identified application on August 23, 2006, attached hereto is a (Form PCT/IB/373), and an English translation of the Written Opinion Of The International Searching Authority (Form PCT/ISA/237) that should be made of record in the present application.

If necessary, the Commissioner is hereby authorized in this, concurrent, and future replies, to charge payment or to credit any overpayment to Deposit Account No. 02-2448 for any

Application No.: 10/590,363

Docket No.: 5000-0194PUS1

additional fees required under 37 C.F.R. § 1.16 or under 37 C.F.R. § 1.17; particularly, extension of time fees.

Dated: January 3, 2007

Respectfully submitted,

By 

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Attachment(s)

PATENT COOPERATION TREATY

PCT

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

(Chapter I of the Patent Cooperation Treaty)

(PCT Rule 44bis)

Applicant's or agent's file reference 0000055442	FOR FURTHER ACTION	See item 4 below
International application No. PCT/EP2005/002683	International filing date (<i>day/month/year</i>) 14 March 2005 (14.03.2005)	Priority date (<i>day/month/year</i>) 15 March 2004 (15.03.2004)
International Patent Classification (8th edition unless older edition indicated) See relevant information in Form PCT/ISA/237		
Applicant BASF AKTIENGESELLSCHAFT		

1. This international preliminary report on patentability (Chapter I) is issued by the International Bureau on behalf of the International Searching Authority under Rule 44 bis.1(a).

2. This REPORT consists of a total of 10 sheets, including this cover sheet.

In the attached sheets, any reference to the written opinion of the International Searching Authority should be read as a reference to the international preliminary report on patentability (Chapter I) instead.

3. This report contains indications relating to the following items:

- | | | |
|-------------------------------------|--------------|---|
| <input checked="" type="checkbox"/> | Box No. I | Basis of the report |
| <input type="checkbox"/> | Box No. II | Priority |
| <input type="checkbox"/> | Box No. III | Non-establishment of opinion with regard to novelty, inventive step and industrial applicability |
| <input type="checkbox"/> | Box No. IV | Lack of unity of invention |
| <input checked="" type="checkbox"/> | Box No. V | Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement |
| <input type="checkbox"/> | Box No. VI | Certain documents cited |
| <input type="checkbox"/> | Box No. VII | Certain defects in the international application |
| <input type="checkbox"/> | Box No. VIII | Certain observations on the international application |

4. The International Bureau will communicate this report to designated Offices in accordance with Rules 44bis.3(c) and 93bis.1 but not, except where the applicant makes an express request under Article 23(2), before the expiration of 30 months from the priority date (Rule 44bis .2).

The International Bureau of WIPO 34, chemin des Colombettes 1211 Geneva 20, Switzerland	Date of issuance of this report 01 November 2006 (01.11.2006)
Facsimile No. +41 22 338 82 70	Authorized officer <div style="text-align: center; font-weight: bold; font-size: 1.2em;">Yolaine Cussac</div> e-mail: pt11@wipo.int

PATENT COOPERATION TREATY

TRANSLATION

From the
INTERNATIONAL SEARCHING AUTHORITY

PCT

WRITTEN OPINION OF THE
INTERNATIONAL SEARCHING AUTHORITY

(PCT Rule 43bis.1)

To:

Date of mailing (day/month/year)	See form PCT/ISA/210
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Applicant's or agent's file reference 0000055442	FOR FURTHER ACTION See paragraph 2 below
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International application No. PCT/EP2005/002683	International filing date (day/month/year) 14.03.2005	Priority date (day/month/year) 15.03.2004
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International Patent Classification (IPC) or both national classification and IPC A01N43/90

Applicant BASF AKTIENGESELLSCHAFT

<p>1. This opinion contains indications relating to the following items:</p> <table style="width: 100%;"> <tr> <td style="width: 10%; text-align: center;"><input checked="" type="checkbox"/></td> <td style="width: 20%;">Box No. I</td> <td>Basis of the opinion</td> </tr> <tr> <td style="text-align: center;"><input type="checkbox"/></td> <td>Box No. II</td> <td>Priority</td> </tr> <tr> <td style="text-align: center;"><input type="checkbox"/></td> <td>Box No. III</td> <td>Non-establishment of opinion with regard to novelty, inventive step and industrial applicability</td> </tr> <tr> <td style="text-align: center;"><input type="checkbox"/></td> <td>Box No. IV</td> <td>Lack of unity of invention</td> </tr> <tr> <td style="text-align: center;"><input checked="" type="checkbox"/></td> <td>Box No. V</td> <td>Reasoned statement under Rule 43bis.1(a)(i) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement</td> </tr> <tr> <td style="text-align: center;"><input type="checkbox"/></td> <td>Box No. VI</td> <td>Certain documents cited</td> </tr> <tr> <td style="text-align: center;"><input type="checkbox"/></td> <td>Box No. VII</td> <td>Certain defects in the international application</td> </tr> <tr> <td style="text-align: center;"><input type="checkbox"/></td> <td>Box No. VIII</td> <td>Certain observations on the international application</td> </tr> </table> <p>2. FURTHER ACTION</p> <p>If a demand for international preliminary examination is made, this opinion will be considered to be a written opinion of the International Preliminary Examining Authority ("IPEA") except that this does not apply where the applicant chooses an Authority other than this one to be the IPEA and the chosen IPEA has notified the International Bureau under Rule 66.1bis(b) that written opinions of this International Searching Authority will not be so considered.</p> <p>If this opinion is, as provided above, considered to be a written opinion of the IPEA, the applicant is invited to submit to the IPEA a written reply together, where appropriate, with amendments, before the expiration of 3 months from the date of mailing of Form PCT/ISA/220 or before the expiration of 22 months from the priority date, whichever expires later.</p> <p>For further options, see Form PCT/ISA/220.</p> <p>3. For further details, see notes to Form PCT/ISA/220.</p>	<input checked="" type="checkbox"/>	Box No. I	Basis of the opinion	<input type="checkbox"/>	Box No. II	Priority	<input type="checkbox"/>	Box No. III	Non-establishment of opinion with regard to novelty, inventive step and industrial applicability	<input type="checkbox"/>	Box No. IV	Lack of unity of invention	<input checked="" type="checkbox"/>	Box No. V	Reasoned statement under Rule 43bis.1(a)(i) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement	<input type="checkbox"/>	Box No. VI	Certain documents cited	<input type="checkbox"/>	Box No. VII	Certain defects in the international application	<input type="checkbox"/>	Box No. VIII	Certain observations on the international application
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Name and mailing address of the ISA/EP	Authorized officer
Facsimile No.	Telephone No.

WRITTEN OPINION OF THE
INTERNATIONAL SEARCHING AUTHORITY

International application No.

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Box No. I Basis of this opinion

1. With regard to the language, this opinion has been established on the basis of the international application in the language in which it was filed, unless otherwise indicated under this item.
☐ This opinion has been established on the basis of a translation from the original language into the following language
_____, which is the language of a translation furnished for the purposes of international search (under Rule 12.3 and 23.1(b)).
2. With regard to any nucleotide and/or amino acid sequence disclosed in the international application and necessary to the claimed invention, this opinion has been established on the basis of:
 - a. type of material
☐ a sequence listing
☐ table(s) related to the sequence listing
 - b. format of material
☐ in written format
☐ in computer readable form
 - c. time of filing/furnishing
☐ contained in the international application as filed.
☐ filed together with the international application in computer readable form.
☐ furnished subsequently to this Authority for the purposes of search.
3. ☐ In addition, in the case that more than one version or copy of a sequence listing and/or table(s) relating thereto has been filed or furnished, the required statements that the information in the subsequent or additional copies is identical to that in the application as filed or does not go beyond the application as filed, as appropriate, were furnished.
4. Additional comments:

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Box No. V	Reasoned statement under Rule 43bis.1(a)(i) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement		
1. Statement			
Novelty (N)	Claims	1-10	YES
	Claims		NO
Inventive step (IS)	Claims	1-10	YES
	Claims		NO
Industrial applicability (IA)	Claims	1-10	YES
	Claims		NO
2. Citations and explanations:			
<p>Reference is made to the following reference documents (D1-D7) which are cited in the international search report:</p> <p style="margin-left: 40px;">D1: EP-A-0 988 790</p> <p style="margin-left: 40px;">D2: WO 98/46607 A</p> <p style="margin-left: 40px;">D3: EP-A-0 741 970</p> <p style="margin-left: 40px;">D4: US-B1-6 268 371</p> <p style="margin-left: 40px;">D5: US-A-5 593 996</p> <p style="margin-left: 40px;">D6: WO 99/48365 A</p> <p style="margin-left: 40px;">D7: PATENT ABSTRACTS OF JAPAN vol. 012, No. 467 (C-550), 7 December 1988 (1988-12-07) & JP 63 188604 A (1988-08-04)</p>			
Novelty			
<p>The subject matter of claims 1-10 is novel (PCT Article 33(1) and (2)).</p> <p>The subject matter of independent claim 1 are fungicidal mixtures for controlling rice pathogens, comprising a specific fungicidal triazolopyrimidine (hereinbelow referred to as TP1) and the dichlorophenyldicarboximide fungicide vinclozolin in a synergistically effective</p>			

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amount. Claim 3 claims a composition which comprises a carrier and the mixture. The remaining independent claims 4, 9 and 10 refer to a method of controlling rice-pathogenic harmful fungi by means of such a mixture, to seed resulting from such a method which comprises such a mixture, and to the use of the two compounds for the preparation of compositions for controlling rice-pathogenic harmful fungi.

None of the abovementioned citations discloses the specific mixtures which are the subject matter of the present application.

D1 (see the passages cited in the international search report) discloses synergistic mixtures of triazolopyrimidines of a general formula, which also covers TP1, with other fungicides, amongst which also vinclozolin. The preferred azolopyrimidines A, B and C which are used in examples (hereinbelow referred to as TPa, TPb and TPc, respectively) are the 6-(2-Cl-6-F-phenyl), the 7-(2,2,2-trifluoroethylamino) and the 7-(1,1,1-trifluoropropyl-2-ylamino) analogues of TP1. TPa and TPc are the comparative substance A and B, respectively, of the present application.

D2 (see the passages cited in the international search report) specifically discloses the compound TP1 (exemplary compound 2), *inter alia*. The compound is compared with TPa with regard to its activity against powdery mildew on grapevines and found to be superior. The possibility of mixing it with other fungicides, among which vinclozolin is also mentioned, perhaps with

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obtaining a synergistic effect, is mentioned, but not carried out.

D3 (see the passages cited in the international search report) discloses synergistic mixtures of certain strobilurin fungicides such as, for example, kresoxim-methyl and dimoxystrobin) and an enumeration of other fungicides, among which the dichlorophenyldicarboximides procymidone, vinclozolin and iprodione.

D4 (see the passages cited in the international search report) discloses synergistic mixtures of triazolopyrimidines, which are known from, *inter alia*, D4, with melanin biosynthesis inhibitors such as carpropamid, pyroquilon and fenoxanil. These mixtures are particularly effective against rice pathogens (*Pyricularia oryzae*, *Rhizoctonia solani* and *Cochliobolus miyabeanus*). The preferred compounds, which are referred to in D5 as azolopyrimidines A, C and D are the abovementioned TPa, TPb and TPc, respectively.

D5 (see the passages cited in the international search report) discloses certain fungicidal triazolopyrimidines, among which TPa. The activity against *Pyricularia oryzae* on rice is demonstrated (see D4, examples 225 and 226).

D6 (see the passages cited in the international search report) discloses synergistic mixtures of oxime ether strobilurins such as, for example, the rice fungicide orysastrobin, with various fungicides which are active against *Rhizoctonia*, among which the dichlorophenyl-dicarboximide fungicide iprodione.

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D7 finally (see the passages cited in the international search report) discloses synergistic mixtures for disinfecting seed, in particular rice seed, which comprise an imidazole fungicide such as, for example, pefurazate and one of the dichlorophenyldicarboximide fungicides procymidone, iprodione and vinclozolin. The mixtures are used in particular for the treatment of rice seed and are active against the Bakanae disease of rice, which is caused by *Gibberella fujikuroi*, and against the "Helminthosporium" blight disease. *H. oryzae* is synonymous with *Cochliobolus miyabeanus*, which causes brown spot disease in rice.

Inventive step

The subject matter of claims 1-10 involves an inventive step (PCT Article 33(1) and (3)).

In the light of the description and of the closest prior art of the reference document D1, the problem on which the application is based can be considered to be the provision of synergistic mixtures of triazolopyrimidines with other fungicides which are suitable for controlling rice pathogens, i.e. which combine a high systemicity with a good activity against pathogens such as *Pyricularia oryzae*, *Corticium solani* (synonyms etc. *Rhizoctonia sasakii*, *R. solani*, R.s. f. sp. *sasakii*, *Corticium sasakii*, *Thanatephorus cucumeris*) and *Cochliobolus miyabeanus*.

The proposed solution is characterized by the use of the specific triazolopyrimidine TP1 in combination with the

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dichlorophenyldicarboximide fungicide vinclozolin.

Taking into consideration the above prior art, this combination is no obvious solution of the problem.

D1 proposes mixtures of triazolopyrimidines of a general formula which comprises not only TPa, TPb and TPC, but also TP1, with vinclozolin. This document specifically discloses the mixture with the triazolopyrimidine TPa. The citation does not expressly mention the use for controlling rice pathogens. In the example (D1, example 7), TPa together with vinclozolin is used against *Botrytis cinerea* in apples.

However, the triazolopyrimidines of the general formula are known from the citation D5 as being effective against rice pathogens; for example, this document demonstrates the activity of TPa (compound 139 in D5) against *Pyricularia oryzae* by way of example (see example 226). D4 (see above) discloses synergistic mixtures of such triazolopyrimidines, among which again TPa and TPC which is likewise used as comparison substance in the present application, with other fungicides. These mixtures are active in particular against rice pathogens such as *Pyricularia oryzae*, *Rhizoctonia solani* and *Cochliobolus miyabeanus*.

D2 emphasizes that the 6-(2,4,6-trifluorophenyl)triazolopyrimidines (such as, for example, TP1) which are disclosed therein have an increased systemicity and fungitoxic activity against rice pathogens in comparison with the triazolopyrimidines known from D5 (such as, for

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example, TPa and TPc) (see D2, page 7, lines 9-11). The good activity of, specifically, TP1 against *Pyricularia oryzae* (= *Pyricularia grisea* f. sp. *oryzae*, teleomorph: *Magnaporthe* gr. f. sp. *oryzae*) and *Rhizoctonia solani* is demonstrated in the examples (see D2, table II).

D2 also proposes a mixture with other fungicides, among which also vinclozolin, which mixture might result in a synergistic effect (see the passages of reference document D2 cited in the search report).

However, in order to arrive at the combination according to the invention from D1, it is necessary not only to specifically substitute one of the triazolopyrimidines which are preferred therein, for example TPa, by TP1, which is mentioned in D2 besides other triazolo-pyrimidines, but also to select the combination with vinclozolin among all combinations mentioned in D1 for this substitution.

This choice is not obvious in the light of the problem of providing agents for controlling rice pathogens.

Vinclozolin is not known as being particularly effective against such pathogens (see, for example, D3, D6 and D7).

While *Pyricularia oryzae* and the pathogens which cause "Helminthosporium" blight disease, that is *Cochliobolus miyabeanus*, or the pathogen causing bordered sheath spot, that is *Rhizoctonia solani*, in rice are mentioned, among many others, as harmful fungi to be controlled by the mixtures in D3, no example is provided for the treatment of rice. In the single example provided of a dichloro-

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phenyldicarboximide fungicide, procymidone is tested against grey mould (*Botrytis cinerea*) in cucumbers.

While the mixtures mentioned in D6 are said to act synergistically, in particular against *Pyricularia oryzae*, the activity of iprodione, which is similar to vinclozolin and proposed in that document, is not demonstrated in an example.

Starting from D3, D6 or D7, the proposed mixtures are not obvious either.

The mixing partners of the dichlorophenyldicarboximide fungicides in D3, D6 and D7 are quite different from the triazolopyrimidines of the present application and the citations D1, D2, D4 and D5. Irrespective of the activity against rice pathogens of the mixtures disclosed in D3, D6 and D7, which is dubious in parts anyway, their substitution for the triazolopyrimidine TP1 was not obvious, to say nothing about the synergistic effect which a person skilled in the art would have expected.

The proposed solution of combining the triazolopyrimidine TP1 with vinclozolin is therefore not obvious.

Industrial applicability

The subject matter of claims 1-10 is considered to be industrially applicable (PCT Article 33(1) and (4)).